Atty Docket No.: R0151B-REG

USSN: 10/702,302

Claim Listing

1. (Currently Amended) A compound of the formula:

$$(R^8R^7C)_2$$
 $(CR^5R^6)_q$
 $(R^1)_p$
 $(CR^5R^6)_q$
 $(R^4)_p$
 $(CR^5R^6)_q$
 $(CR^5R^6)_q$
 $(CR^5R^6)_q$
 $(CR^5R^6)_q$
 $(CR^5R^6)_q$
 $(CR^5R^6)_q$
 $(CR^5R^6)_q$

or a pharmaceutically acceptable salt or prodrug thereof, wherein:

Y is C;

m is 1;

n is 1;

p is from 0 to 3;

q is from 1 to 3;

Z is -(CRaRb), or -SO₂-, where each of Ra and Rb is independently

hydrogen or alkyl;

r is from 0 to 2;

X is CH or N:

cach R^1 is independently halo, alkyl, haloalkyl, heteroalkyl, alkoxy, cyano, $-S(O)_s-R^c$, $-C(=O)-NR^cR^d$, $-SO_2-NR^cR^d$, $-N(R^c)-C(=O)-R^d$, or -C(=O) R^c , where each of R^c and R^d is independently hydrogen or alkyl;

s is from 0 to 2;

 R^2 is aryl or heteroaryl;

each of R³ and R⁴ is independently hydrogen, alkyl, hydroxyalkyl or alkoxyalkyl, or R³ and R⁴ together with their shared carbon may form a <u>carhocyclic</u> ring of 3 to 6 members that optionally includes a nitrogen or oxygen beteroatom; and

each of R5, R6, R7, R8 and R9 is independently hydrogen or alkyl, or one of R5 and R6 together with one of R7, R8 and R9 and the atoms therebetween may form a ring of 5 to 7 members.

650 855 5322

- (Original) The compound of claim 1, wherein Z is -(CR^aR^b),-. 2.
- (Original) The compound of claim 2, wherein X is N and q is 2. 3.
- (Canceled) 4.
- (Currently Amended) The compound of claim [[4][3, wherein t is 1. 5.
- (Original) The compound of claim 5, wherein R^a and R^b are hydrogen. 6.
- (Original) The compound of claim 6, wherein R² is optionally substituted 7. phenyl or optionally substituted naphthyl.
- (Original) The compound of claim 7, wherein R2 is 2-halophenyl, 3-8. halophenyl, 4-halophenyl, naphthylen-2-yl, 3-cyanophenyl, 4-cyanophenyl, 3nitrophenyl, 3-aminophenyl, 3-methoxyphenyl, 3-ureaphenyl, or 3-methylsulfonylaminophenyl.
- (Original) The compound of claim 7, wherein p is 1 and R¹ is halo, methyl 9. or methoxy.
 - (Original) The compound of claim 7, wherein R³ and R⁴ are hydrogen. 10.
 - (Original) The compound of claim 7, wherein R³ and R⁴ are methyl. 11.
- (Original) The compound of claim 7, wherein one of R3 and R4 is 12. hydrogen and the other is methyl.

HALLR6 #130527 v1 R0151B-REG

- 13. (Currently Amended) The compound of claim 7, wherein R³ and R⁴ together with the carbon atom therebetween form a cyclobutyl.
- 14. (Currently Amended) The compound of claim 8, wherein said compound is selected from:

```
4-benzyl-6-methyl-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
```

- 4-benzyl-6-methoxy-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(2-fluoro-benzyl)-6-methoxy-8-pipcrazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(2-chloro-bcnzyl)-6-methoxy-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(3-chloro-benzyl)-6-methoxy-8-pipcrazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-benzyl-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-benzyl-6-fluoro-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(2-fluoro-benzyl)-8-piperazin-1-yl-4[[H]]H-bcnzo[1,4]oxazin-3-one;
- 4-(4-fluoro-benzyl)-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(4-chloro-benzyl)-8-pipcrazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(4-fluoro-benzyl)-6-fluoro-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-onc;
- 4-(2-fluoro-benzyl)-6-fluoro-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(2-chloro-benzyl)-6-fluoro-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(4-chloro-benzyl)-6-fluoro-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 6-fluoro-4-naphthalen-2-ylmethyl-8-piperazin-1-yl-4|[H][H-benzo[1,4]oxazin-3-one;
- 4-(3-chloro-benzyl)-6-fluoro-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 3-(3-oxo-8-piperazin-1-yl-2,3-dihydro-benzo[1,4]oxazin-4-ylmethyl)-benzonitrile;
- 4-(3-fluoro-benzyl)-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-onc;
- 4-benzyl-2,2-dimethyl-8-piperazin-1-yl-4*H*-benzo[1,4]oxazin-3-one;
- (R)-4-benzyl-2-methyl-8-pipcrazin-1-yl-4H-benzo[1,4]oxazin-3-one;
- 4-benzyl-6-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;
- 4-(4-Fluoro-benzyl)-6-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one;
- (S)-4-Benzyl-2-methyl-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 8-Piperazin-1-yl-4-pyridin-4-ylmethyl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-Benzyl-6-methyl-8-(4-methyl-piperazin-1-yl)-4[[H]]H-benzo[1,4]oxazin-3-one;

- 4-Benzyl-8-(4-methyl-piperazin-1-yl)-4||H||<u>II</u>-benzo[1,4]oxazin-3-one;
- 4-(1-Phenyl-ethyl)-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-onc;
- 4-(3-Methoxy-benzyl)-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(3-Nitro-benzyl)-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(3-Amino-benzyl)-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 3-(3-Oxo-8-pipcrazin-1-yl-2,3-dihydro-benzo[1,4]oxazin-4-ylmethyl)-benzonitrile;
- N-[3-(3-Oxo-8-piperazin-1-yl-2,3-dihydro-benzo[1,4]oxazin-4-ylmethyl)-phenyl]methanesulfonamide;
- 4-(4-Fluoro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(3-Fluoro-benzyl)-2,2-dimethyl-8-pipcrazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- [3-(3-Oxo-8-piperazin-1-yl-2,3-dihydro-benzo[1,4]oxazin-4-ylmethyl)-phenyl]-urea;
- 4-(3-Chloro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-Benzyl-8-(3,5-dimethyl-piperazin-1-yl)-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-(4-Chloro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-Benzyl-6-fluoro-2,2-dimethyl-8-pipcrazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-onc;
- 4-(4-Chloro-benzyl)-6-fluoro-2,2-dimethyl-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 6-Fluoro-4-(3-fluoro-bcnzyl)-2,2-dimethyl-8-piperazin-1-yl-[[H]]H-benzo[1,4]oxazin-3one;
- 6-Fluoro-4-(2-fluoro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one:
- 6-Fluoro-4-(4-fluoro-benzyl)-2,2-dimethyl-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-
- 4-(3-Chloro-benzyl)-6-fluoro-2,2-dimethyl-8-piperazin-1-yl-4[[H]]H-benzo[1,4]oxazin-3-one;
- 4-Bcnzyl-8-(3,3-dimcthyl-pipcrazin-1-yl)-4[[H]]H-bcnzo[1,4]oxazin-3-one;
- 4-Benzyl-2,2-spiro-cyclobutan-8-piperazin-1-yl-4H-benzo[1,4]oxazin-3-one.
 - (Original) The compound of claim 6, wherein \mathbb{R}^2 is heteroaryl. 15.
 - (Original) The compound of claim 15, wherein R² is pyridine-4-yl. 16.

To: USPTO

17-32. (Canceled).

(Original) The compound of claim 1, wherein said compound is of the 33. formula:

$$\begin{array}{c|c}
R^{\theta} & R^{\theta} \\
R^{7} & X & P^{5} \\
R^{7} & X & O & R^{4} \\
(R^{1})_{\rho} & & & & & \\
R^{2} & Z & & & & \\
\end{array}$$

or a pharmaceutically acceptable salt or prodrug thereof, wherein X, Y, Z, R¹, R², R³, R⁴, R^5 , R^6 , R^7 , R^8 , R^9 , m, n, and p are as defined in claim 1.

34. (Original) The compound of claim 1, wherein said compound is of the formula:

$$\begin{array}{c|c}
R^{8} \\
N \\
R^{5} \\
R^{7} \\
(R^{1})_{p}
\end{array}$$
 $\begin{array}{c|c}
R^{6} \\
R^{5} \\
R^{5} \\
0
\end{array}$
 $\begin{array}{c|c}
R^{4} \\
N \\
0
\end{array}$

or a pharmaceutically acceptable salt or prodrug thereof, wherein Z, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, n, and p are as defined in claim 1.

(Currently Amended) The compound of claim 1, wherein said compound 35. is of the formula:

HALLR6 #130527 v1

R0151B-REG

650 855 5322

or a pharmaceutically acceptable salt or prodrug thereof, wherein R^1 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , [[R^{10} ,]] R^a , R^b , n, p and r are as defined in claim 1, and wherein:

t is from 0 to 4; and each R¹⁰ independently is halo, alkyl, alkoxy or cyano.

36. (Currently Amended) The compound of claim 1, wherein said compound is of the formula:

wherein X, Y, R^1 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , R^9 , $[[R^{10},]]$ R^a , R^b , m, p and t are as recited in claim 1, and wherein:

t is from 0 to 4; and

each R¹⁰ independently is halo, alkyl, alkoxy or cyano.

(Original) The compound of claim 36, wherein R¹ is halo, methyl 37. or methoxy.

650 855 5322

- (Original) The compound of claim 36 wherein R3 and R4 each 38. independently is hydrogen or methyl.
- (Original) The compound of claim 36, wherein R3 and R4 together 39. with their shared carbon form a cyclobutyl group.
- (Original) The compound of claim 36, wherein R⁶, R⁷, R⁸, R⁹ each 40. independently is hydrogen or methyl.
- (Original) The compound of claim 36, wherein R^a and R^b each 41. independently is hydrogen or methyl.
- (Original) The compound of claim 36, wherein each R¹⁰ is 42. hydrogen, halo, nitro, cyano, amino, urca, methoxy or methanesulfonylamino.
- 43. (Original) A pharmaceutical composition comprising an efficacious amount of the compound of claim 1 in admixture with a pharmaceutically acceptable carrier.
- 44. (Withdrawn) A method for treating a central nervous system disease state in a subject, said method comprising administering to said subject a therapeutically effective amount of a compound of claim 1.
- 45. (Withdrawn) The method of Claim 44, wherein the disease state is selected from psychoses, schizophrenia, manic depressions, neurological disorders, memory disorders, attention deficit disorder, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease and Huntington's disease.

HALLR6 #130527 vl 9 R0151B-REG

Atty Docket No.: R0151B-REG

USSN: 10/702,302

- 46. (Withdrawn) A method for treating a disorder of the gastrointestinal tract in a subject, said method comprising administering to said subject a therapeutically effective amount of a compound of claim 1.
- 47. (Previously Presented) A method for producing a substituted benzoxazinone compound, said method comprising:
 - (a) contacting an N-arylalkyl benzoxazinone of the formula:

wherein:

A₁ is a leaving group,

n is 1;

p is from 0 to 3;

r is from 0 to 2;

t is from 0 to 4;

each of R^a and R^b is independently hydrogen or alkyl;

cach R1 is independently halo, alkyl, haloalkyl, heteroalkyl, alkoxy, cyano,

 $-S(O)_s-R^c$, $-C(=O)-NR^cR^d$, $-SO_2-NR^cR^d$, $-N(R^c)-C(=O)-R^d$, or -C(=O)

 $R^{\text{c}},$ where each of R^{c} and R^{d} is independently hydrogen or alkyl and s is

from 0 to 2;

each of R³ and R⁴ is independently hydrogen or alkyl; and

each R¹⁰ is independently halo, alkyl, alkoxy or cyano;

with a heterocyclic compound of the formula:

Atty Docket No.: R0151B-REG

USSN: 10/702,302

$$(R^{s}R^{7}C)_{2}$$

$$N$$

$$N$$

$$(CR^{s}R^{6})_{q}$$

$$H$$

wherein:

q is from 1 to 3; and each of R⁵, R⁶, R⁷, R⁸ and R⁹ is independently hydrogen or alkyl, or one of R⁵ and R⁶ together with one of R⁷, R⁸ and R⁹ may form a ring of 5 to 7 members;

in the presence of a palladium catalyst to produce the heterocyclyl-substituted N-arylalkyl benzoxaninone compound of the formula:

$$(R^8R^7C)_2$$
 $(CR^5R^6)_q$
 $(R^1)_p$
 $(R^1)_p$
 $(R^3$

- 48. (Original) The method of claim 47, wherein the leaving groups A¹ is halo.
- 49. (Currently Amended) The method of claim 47, wherein the heterocyclic compound is of the formula:

such that the heterocyclyl-substituted N-arylalkyl benzoxaninone compound is of the formula:

650 855 5322

and R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, n, p, r and t are as described in claim [[41]]47.

- 50. (Original) The method of claim 47, further comprising:
- (a) contacting a benzoxazinone of the formula:

wherein n, p, A₁, R¹, R³ and R⁴ are as described in claim 1, with an alkylating agent of the formula:

wherein:

 A_2 is a leaving group and may the same or different from A_1 ; and r, t, Ra, Rb and R10 are as described in claim 41;

to produce the N-arylalkyl benzoxazinone of the formula:

HALLR6 #130527 v1